

**Solar-screening and anti-erythema compsn. based on natural products effective against damaging UV rays, comprises amino acids, vitamins, nucleo derivs. and vegetable extracts**

**Patent Number : EP-747039**

*International patents classification : A61K-000/00 A61K-007/42 A61K-007/00 A61K-007/44 A61K-007/48*

**• Abstract :**

EP-747039 A A solar-screening and anti-erythema compsn. based on natural products, effective against damaging UV rays, comprises; (a) amino acids; (b) vitamins or pro-vitamins; (c) nucleo derivs.; (d) vegetable extracts; and/or (e) other natural substances of animal or vegetable origin. Also claimed is an anti-aging, anti-wrinkle protective cream comprising 3% of the above compsn., 2% placenta, 1% collagen and 2% soluble elastin in a mixt. of carrier, emulsifier and preservative.

USE - The compsn. has sun screening, anti-erythema and antiirritating activity. Low, medium and high protection products can be prepd. comprising 3, 6 or 12% respectively of the compsn. mixed with auto-emulsifying base (for an emulsion) or with cosmetic lyposolvents. (Dwg.0/0)

**• Publication data :**

Patent Family : EP-747039 A2 19961211 DW1997-03 A61K-007/42 Eng 6p \* AP: 1996EP-0830322 19960606 DSR: AT DE ES FR GB GR NL PT SE

**US5916542** A 19990629 DW1999-32 A61K-007/42

AP: 1996US-0658480 19960605

IT1276698 B 19971103 DW1998-41 A61K-000/00 AP: 1995IT-

MI01236 19950609

Priority n° : 1995IT-MI01236 19950609

Covered countries : 11

Publications count : 3

Cited patents : No-SR.Pub

**• Patentee & Inventor(s) :**

Patent assignee : (SAFO-) SA.FO.SA SRL  
(FOSS/) FOSSATI A

Inventor(s) : FOSSATI A

**• Accession codes :**

Accession N° : 1997-022841 [03]

Sec. Acc. n° CPI : C1997-007335

**• Derwent codes :**

Manual code : CPI: B03-L B04-A10 B04-B03 B14-C03 B14-R05 D08-B09A

Derwent Classes : B04 B05 D21

Compound Numbers : R00113-M R03942-

M R03941-M R00137-M R00179-M

R01163-M R00990-M R01693-M R03943-

M R04099-M R03245-M R00295-M

R00282-M R00252-M R00179-M R24034-

M

**• Update codes :**

Basic update code :1997-03

Equiv. update code :1998-41; 1999-32

(19)



Europäisches Patentamt  
European Patent Office  
Office européen des brevets



(11)

**EP 0 747 039 A2**

(12)

**EUROPEAN PATENT APPLICATION**

(43) Date of publication:

**11.12.1996 Bulletin 1996/50**

(51) Int Cl.<sup>6</sup>: **A61K 7/42, A61K 7/48**

(21) Application number: **96830322.2**

(22) Date of filing: **06.06.1996**

(84) Designated Contracting States:  
**AT DE ES FR GB GR NL PT SE**

(30) Priority: **09.06.1995 IT MI951236**

(71) Applicant: **SA.FO.SA. S.r.l.**  
**20037 Paderno Dugnano (Milano) (IT)**

(72) Inventor: **Fossati, Antonio**  
**20037 - Paderno Dugnano (Milano) (IT)**

(74) Representative: **Cicogna, Franco**  
**Ufficio Internazionale Brevetti**  
**Dott.Prof. Franco Cicogna**  
**Via Visconti di Modrone, 14/A**  
**20122 Milano (IT)**

(54) **Antisolar and antierythema composition based on natural products**

(57) The present invention relates to a natural-base antisolar and antierythema mixture comprising, in combination, a mixture of aminoacids, vitamins and pro-vi-

tamins, glucides, lipides, nucleo-derivatives or other natural substances, either of an animal or vegetable nature, which, in set mixed amounts, are very efficient against damages of ultraviolet rays.

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## Description

### BACKGROUND OF THE INVENTION

The present invention relates to a natural-base antisolary and antierythema mixture.

As is known, the sun light can seriously damage the skin.

Mainly the persons of clear skin and difficult browning are those most susceptible to damages deriving from an excessive exposition to the sun.

The ravaging effects, caused by an excessive radiation, would be well evident if one compares the conditions of the skin continuously exposed, for a long time, to the sun, such as for example the skin of the farmers, with the skin of persons having the same genetic characteristics, but not subjected to a continuous exposition.

Actually, in the case of a continuous exposition to the sun, and as the years pass, atrophy, deep wrinkles, telangiectasis, drainess and anomalous pigmentation occur.

In the second case, the cutaneous ageing, even if it is not overcome, is much less evident and does not assume the gravity and intensity of the damage occurring in a person continuously exposed to the sun without any suitable protection.

The most evident signs of a premature and great cutaneous ageing can be detected just in those regions of the skin which are not usually protected by cloths, such as the face, neck, arms, hands and legs.

It is symptomatic, but explicative, the fact that a person of thirty years exposed during the day to the sun rays presents, in the not protected skin regions, the same damages of a person of fifty/sixty years.

On the contrary, that same person of thirty years, wont to remain in a closed environment, as it occurs in northern countries with northern climates, will have a skin like that of a twenty year person.

The aggression to the skin due to the sun light has been identified and acknowledged in the UV component, in particular at the wave length from 290 to 320 nanometers.

Also that portion of the UV rays from 320 to 400 nanometers causes to so-called actinic insults.

Moreover, the infrared rays, also called thermal rays since they transmit heat to the skin and exceeding 700 nanometers, can overburden, together with the UV rays, the cutaneous damage occurring under a full sun exposition condition.

Actually, since the browning or tanning, or, better, melanin therefrom said browning derives, defends and protects the skin from the UV ray impact, an already tanned person should proceed with caution in taking the sun rays.

However, as the tanning is lacking, then must be taken, little by little, with a very great care and screening or shielding the skin by suitable and well calibrated solar filters.

In particular, it is absolutely necessary not to alternate sun periods with consequent tanning and no-sun periods with a tanning loss.

In fact, in this manner, the skin, not protected by melanin, would be exposed to continuous insults by the UV rays.

This apparent paradox could bring a person to state that it would be better to take the sun continuously with the skin in a tanned condition instead of taking it alternately "hiccup-wise".

Accordingly, it would be necessary to filter the sun rays in order to eliminate an excess of UV rays.

On the other hand, if a good tanning is desired, it is necessary that a portion of the UV rays arrives at the skin in order to burst forth the formation of the required pigment.

However, with respect to the quality and amount of solar filters, it is practically not possible to adjust them in a manner suitable for all of the types of skins requiring, because of their different delicacy, different doses and functionalities.

Because of the mentioned reason, and in order to prevent the skin from being seriously damaged, active agents or associations thereof should be used which, in addition to reducing the impact of the UV rays would be susceptible to prevent and repair the negative effects of that part of radiation which, anyhow, must pass through the skin.

Accordingly, it will be necessary to use substances preventing the formation of and combatting redness, inflammations and erythemas, which are due to free radicals, to a peroxidation as well as to the generation of substances which are damaging for the cells and tissues.

As known, the skin is the most complex and extended organ of a human organism. Even if the human organism includes organs which are more important from a mere life standpoint, such as heart, brain, kidneys, lungs and so on, without which the life would be not possible, also the skin must be considered as essential for the life. In this connection it would be sufficient to think that a human organism can not survive if a comparatively high amount of its skin surface is removed therefrom.

Those persons who can not expose themselves to the sun have a smooth skin, without stains up to ninety years, and present relaxation and only a deepening of the expression wrinkles.

On the contrary, those skins which have been excessively exposed to the insults of the sun will present drainess, deeper wrinkles, diffused naevus, an alternated color, couperoses, grooves, fissures, subseedings and swellings. Moreover they are hard undertouch, rough and coriaceous.

All the above mentioned anomalous signs are indicative of great alterations and damages in the derma.

Actually, the skin is not only an organ for holding the other components of a body and for separating or defending them from the encompassing environment, but

it is also the place of exchanges with the outer environment and for the synthesis of biochemical substances which, in addition to adjusting, coordinating and harmonizing their life, have all an important meaning for the functionality and vital equilibrium of the overall organism.

A lot of histologic variations have been disclosed because of the ageing of the skin under the effect of the sun rays and other causes damaging the skin.

At this time, it is necessary to distinguish the intrinsic causes which bring to the normal senescence from the extrinsic causes, in particular the sun light, which bring to a premature much more intense cutaneous ageing.

The damages and faults caused by the UV rays can remain in a latent condition for tens of years but, at about fifty, as the defence mechanisms droop and the normal regenerative processes loose efficiency, this damages would become very evident.

In this connection it should be apparent that in addition to the aesthetic damages, will also occur degeneration and alteration of the cutaneous structure and functionality which can not be recovered.

The premature ageing of the skin due to the sun rays will cause an alteration of the following structural, functional and biochemical parameters:

- deep wrinkles;
- an alteration of the color;
- the appearance of sub-cutaneous small veins;
- a modification of the thickness;
- driness and roughness, with a loss of the mechanical properties;
- a loss of resiliency, with a degradation of the resilient fibers;
- an alteration of the cell recovery and proliferation;
- modifications of the penetrability of the sebum secretion and circulation;
- a degradation of the collagen and proteoglycans;
- a formation of cross-linked bindings in biopolymers;
- anomalies in the immunologic responses;
- a cellular droop;
- a cell and tissue disorganization;
- keratosis;
- insufficient recovering processes.

In view of all these negative effects exerted on the skin by the sun light and, more specifically, by the UV rays, this phenomenon can not be ignored and it would be possible to permit a devastation of the skin in favour of a striking and agreeable, by temporary and transient tanning.

It is necessary to intervene before an irreversible end disaster and before a cutaneous ruin and protect and cure the skin with attention, prudence, accuracy, and, in other words, with intelligence.

This can be obtained by an accurate and exact use of preventive products.

At present is observed a very broad diffusion of the use of solar filters by persons exposing themselves for long time periods to the sun light.

The use of solar filter containing creams and milks is suggested by an actual observation that the UV ray impact will cause, on skins not naturally or artificially protected, an aggression with damages of various extensions (free radicals, peroxidation, erythemas, inflammation and so on).

This will cause the skin to prematurely age and, accordingly, at the face level, the formation of the wrinkles which represent a visible manifestation of these UV damages.

At present is necessary to prevent the violent UV (both UVA and UVB) impact from occurring, by using solar filters preventing the damaging radiation, in a different degree depending on the concentration, from penetrating through the skin with the consequent damages.

The at present commercially available solar filters are constituted by chemical synthesis substances, in general not physiologic and not natural substances, which present the property of absorbing the UV rays thereby neutralizing their deleterious activity; however, they also have the consequent feature of hindering the tanning which, on the contrary, represents the continuously searched aspiration of a person exposing to the sun.

Anyhow, the most serious problem related to the use of conventional solar filters is the continuously increasing diffusion of cutaneous intolerances and reactions, due to the nature and concentration of said substances which will cause side undesired manifestations which, in some cases, discourage the use of said solar filters.

#### SUMMARY OF THE INVENTION

Accordingly, the aim of the present invention is to solve the above mentioned problems, by providing an antisolar mixture effective to absorb the UV rays and having a remarkable antierythema activity as well as an anti-irritating activity.

Such a result would be very important since it would mean that, in the case in which the skin would be affected by an excess of UV rays, then the mixture will be able of neutralizing and eliminating the negative effects thereof.

In brief, the subject antisolar composition is effective to absorb the damaging UV rays, likewise the other solar filters, but without any side effects. Moreover it is surprisingly provided with a great antierythema and anti-irritating activity.

Admitted that the first feature is a very important one, the second will provide the subject antisolar compositions with an unique advantage which can not be found among the conventional solar filters.

Within the scope of the above mentioned aim, a

main object of the present invention is to provide such an antisolar mixture which is effective to prevent erythemas and irritations from occurring, thereby allowing an easier and more complete or intensive tanning in a shorter time, without disagreeable secondary effects and without negative consequences for the skin as time elapses, such as fading, wrinkles and premature senescence.

Another object of the present invention is to provide such an antisolar mixture which can be used for making solar streams, antisolar oils and as an anti-aging and anti-wrinkles active agent, in usual facial creams, so as to also protect from a temporary exposition to the sun, while preventing and combating the cutaneous irritations and reactions and all of the skin senescence processes.

According to one aspect of the present invention, the above mentioned aim and objects, as well as yet other objects, which will become more apparent hereinafter, are achieved by an antisolar screening and antierythema mixture, of a natural base type, characterized in that said mixture comprises, in combination, a mixture of aminoacids, vitamins, provitamins, nucleoderivatives and polymers thereof, UV absorbing vegetal extracts or other innocuous natural substances, of either animal or vegetable origin, effective against the UV ray damages.

By way of a not indicative example, it is possible to mention, among the amino acids: triptophane, histidine, phenylalanine, tyrosine; between vitamins and provitamins: B6, A, E, several tocopherols, betacarotene, bioflavonoids, ubidecarenone; among said nucleoderivatives: nucleosides, nucleotides and polymers thereof, uric acid; among the vegetable extracts, in all of the commercial preparations: carrots, bilberry, aloe, cascara, frangula, canomile, hyperic, calendula, elicrise, liquorice.

It is also possible to use other substances of natural origin, and having an anti-UV effect, such as, for example, gammaorizanol and others.

All of these substances and extracts, as suitably mixed, even partially, will provide the desired results.

Further features and advantages of the invention will become more apparent from the following detailed disclosure of the subject antisolar screening and antierythema natural base mixture, which is substantially prepared by mixing B6 vitamin, in a rate of 5/15%, A vitamin, in a rate of 0.5/1.5%, E vitamin or a tocopherol mixture, in a rate of 3/7%, betacarotene, in a rate of 0.1-0.5%, unidecarenone, in a rate of 0.5/2%, triptophane, in a rate of 2/6%, histidine, in a rate of 1/3%, phenylalanine, in a rate of 1/3%, tyrosine, in a rate of 1/3%, bioflavonoids, in a rate of 5/15%, uric acid, in a rate of 1/2%, nucleosides or nucleotides, in a rate of 3/7%, gamma orizanol, in a rate of 3/7%, dry carrot extract, in a rate of 0.5/2%, dry aloe extract, in a rate of 0.5/2%, the remaining part being constituted by solvent and diluent substances such as glycerine propylengly-

cole in a 1:1 ratio.

The above disclosed mixture will allow to replace the prior art chemical synthesis products by natural products having a surprisingly analogous solar filtering and antierythema efficiency of fully natural products, assuring a very satisfactory local tolerability.

Hereinbelow is shown a practical Example for making 100 g of the subject antisolar mixture, according to an optimal formulation:

#### EXAMPLE

B6 vitamin - 10 g  
A vitamin - 1 g  
E vitamin or tocopherol mixture - 5 g  
Betacarotene - 0.1 g  
Ubidecarenone - 1 g  
Triptophane - 4 g  
Histidine - 2 g  
Phenylalanine - 2g  
Tyrosine - 2 g  
Bioflavonoids - 10 g  
Uric acid - 1.5 g  
Nucleosides or nucleotides and/or polymers thereof - 5 g  
Gamma orizanol - 5 g  
Dry carrot extract - 1 g  
Dry aloe extract - 1 g  
Solvent and diluent  
Glycerine-propylenglycol 1:1 q.b. to 100 g.

The subject mixture has the great advantage that it can be used in addition to solar products, also in conventional anti-aging, anti-wrinkles, protective creams, for persons who are daily exposed to the solar light, in those periods in which, without remaining for a long time under the sun for tanning, they carry out normal activities requiring period, even of short duration, of exposition to the light.

Thus, the skin will be protected and defended against any damages deriving from the sun lights, such as: premature ageing, wrinkleless, drainess, loss of tone and elasticity, anomalous thickenings, roughness, and so on.

In order to provide a low protection solar cream, the subject mixture is used in a rate of 3% with a self emulsifying base; to provide a middle protection solar cream is used an amount of 6% of the subject mixture with an auto-emulsifying base and, for providing a high protection solar cream is used preferably a rate of 12% of the subject mixture, together with an autoemulsifying base.

If it is desired to increase the anti-UV power of the mixture without using very high doses and in the presence of skins which can not absolutely support the UV rays, then it is possible to add to the end product reflecting powders, such as titanium oxide, zinc oxide, mica and other UV reflecting powders which, being of insoluble nature and, accordingly, not absorbable, will not

cause cutaneous irritations or sensibilizations, contrarily to the conventional chemically, synthesized solar filters.

The antisolar mixture, as suitable formulated, can be prepared either by emulsion or it can also be carried out in any other suitable composition for cutaneous use, such as oils, lotions, sprays and the like.

For preparing an antiaging, antiwrinkles, protective formulation the subject antisolar mixture will be associated with placenta, collagen, soluble elastin and/or other antiaging substance having a well experimented antiaging activity, mixed with carrier, emulsifying and preserving agents.

The precious anti-irritating action of the natural filters, applied after the impact of the UV rays on a not protected skin, can be explained by the anti-radical and anti-oxidating action of the mixture according to the present invention.

As known to the Applicant, the solar filtering and antierythema action of the subject mixture has not been found in any of the prior solar filters and mixtures thereof.

As stated, the precious anti-irritating effect of the subject antisolar mixture can be explained by the anti-radical and antioxidation action of said mixture.

As is known, the solar erythema can be attributed to the formation of free radicals and lipoperoxides which are very damaging for the cells, and which derives just from the impact of the UV on the skin.

The invention, as disclosed, is susceptible to several modifications and variations, all of which will come within the scope of the inventive idea.

Moreover, all of the details can be replaced by other technically equivalent elements.

#### Claims

1. An antisolar screening and antierythema mixture, of a natural base type, characterized in that said mixture comprises, in combination, a mixture of aminoacids, vitamins or provitamins, nucleoderivatives, vegetable extracts and/or other natural substances, either of an animal or of a vegetable origin, efficient against damaging UV rays.
2. An antisolar mixture, according to Claim 1, characterized in that said mixture comprises aminoacids, such as triptophane, histidine, phenylalanine, tyrosine.
3. An antisolar screening and antierythema mixture, of a natural base type, according to Claim 1, characterized in that said mixture comprises vitamins and provitamins including: B6, A, E vitamins, tocopherols, betacarotene, bioflavonoids, ubiquinone.
4. An antisolar screening and antierythema mixture,

of a natural base, according to Claim 1, characterized in that said mixture comprises nucleoderivatives including: nucleosides, nucleotides and polymers thereof, uric acid.

5. An antisolar screening and antierythema mixture, of a natural base type, according to Claim 1, characterized in that said mixture comprises vegetal extracts of all the commercially available compositions: constituted by carrots, bilberry, aloe, cascara, frangula, camomile, hyperic, calendula, elicriso, liquerice.
6. An antisolar screening and antierythema mixture, of a natural base type, according to claim 1, characterized in that said mixture comprises natural origin substances, of anti-UV action, constituted by gammaorizanol or other.
7. An antisolar mixture, according to Claim 1, characterized in that said mixture comprises, in different combinations, a mixture of B6 vitamin, A vitamin, E vitamin or a mixture of tocopherols, beta-carotene, ubiquinone, triptophane, histidine, phenylalanine, tyrosine, bioflavonoids, uric acid, nucleosides or nucleotides, gamma orizanol, dry carrot extract, dry aloe extract, and glycerinepropylenglycol as a solvent.
8. An antisolar mixture, according to Claim 1, characterized in that said A vitamin is present in a rate by weight of 0.5/1.5%, said B6 vitamin in a rate by weight of 5/15%, said E vitamin or tocopherol mixture in a rate by weight of 3/7%, said beta-carotene in a rate by weight of 0.1/0.5%, ubiquinone in a rate by weight of 0.5/1.5%, triptophane in a rate by weight of 2/6%, histidine in a rate by weight of 1/3%, and phenylalanine in a rate by weight of 1/3%, tyrosine in a rate by weight of 1/3%, bioflavonoids in a rate by weight of 5/15%, uric acid in a rate by weight of 1/2%, nucleosides or nucleotides in a rate by weight of 3/7%, gamma orizanol in a rate by weight of 3/7%, carrot dry extract in a rate by weight of 0.5/2%, aloe dry extract in a rate by weight of 0.5/2%, the remaining part being constituted by a glycerine-propylenglycol solvent and diluent in a 1:1 ratio.
9. An antisolar mixture, according to Claim 8, characterized in that said B6 vitamin is present in a rate of 10%, said A vitamin in a rate of 1%, said E vitamin or tocopherol mixture in a rate of 5%, said beta-carotene in a rate of 0.1%, said ubiquinone in a rate of 1%, said triptophane in a rate of 4%, said histidine in a rate of 2%, said phenylalanine in a rate of 2%, said tyrosine in a rate of 2%, said bioflavonoids in a rate of 10%, said uric acid in a rate of 1.5%, said nucleosides or nucleotides in a rate of 5%, said

gamma orizanol in a rate of 5%, said carrot dry extract in a rate of 1%, said aloe dry extract in a rate of 1%, the remaining part being constituted by a glycerine-propylenglycol solvent and diluent in a 1:1 ratio.

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10. A solar emulsion including a mixture according to Claim 1, characterized in that said solar emulsion comprises, for a low protection, 3%, for a middle protection 6% and for a high protection 1% of said antisolar mixture, mixed with an autoemulsifying base.
11. An antisolar composition including a mixture according to Claim 1, characterized in that said antisolar composition comprises, for a low protection, 3%, for a middle protection 6% and for a high protection 12% of said antisolar mixture, mixed with cosmetic lyposolvents.
12. An anti-aging, anti-wrinkles, and protective cream, comprising a mixture according to Claim 1, characterized in that said cream comprises, in a carrier, emulsifier and preserving agents mixture, 3% of said antisolar mixture, 2% of placenta, 1% of collagen and 2% of soluble elastin.

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European Patent Office  
Office européen des brevets



(11)

**EP 0 747 039 A3**

(12)

**EUROPEAN PATENT APPLICATION**

(88) Date of publication A3:  
30.06.1999 Bulletin 1999/26

(51) Int Cl.<sup>6</sup>: **A61K 7/42, A61K 7/48**

(43) Date of publication A2:  
11.12.1996 Bulletin 1996/50

(21) Application number: **96830322.2**

(22) Date of filing: **06.06.1996**

(84) Designated Contracting States:  
**AT DE ES FR GB GR NL PT SE**

(30) Priority: **09.06.1995 IT MI951236**

(71) Applicant: **SA.FO.SA. S.r.l.**  
**20037 Paderno Dugnano (Milano) (IT)**

(72) Inventor: **Fossati, Antonio**  
**20037 - Paderno Dugnano (Milano) (IT)**

(74) Representative: **Cicogna, Franco**  
**Ufficio Internazionale Brevetti**  
**Dott.Prof. Franco Cicogna**  
**Via Visconti di Modrone, 14/A**  
**20122 Milano (IT)**

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European Patent  
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# EUROPEAN SEARCH REPORT

Application Number  
EP 96 83 0322

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			A61K
The present search report has been drawn up for all claims			
Place of search BERLIN		Date of completion of the search 4 May 1999	Examiner Beyss, E
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ANNEX TO THE EUROPEAN SEARCH REPORT  
ON EUROPEAN PATENT APPLICATION NO.

EP 96 83 0322

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The members are as contained in the European Patent Office EDP file on  
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04-05-1999

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